

PALM INTRANET

Day : Monday Date: 2/27/2006 Time: 14:44:24

Inventor Information for 10/019786

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Appln Info Contents Petition Info Attyl/	Agent Info Continuity Data	Foreign Data Inve	
Search Another: Application# Se	arch or Patent#	Search	
PCT / Search	or PG PUBS #	Search	
Attorney Docket #	Search		
Bar Code #	Search		

To go back use Back button on your browser toolbar.

Back to PALM | ASSIGNMENT | OASIS | Home page

=> d his ful

L4

L5

L7

L9

L11

(FILE 'HOME' ENTERED AT 12:14:14 ON 27 FEB 2006)

INDEX '1MOBILITY, 2MOBILITY, ABI-INFORM, ADISCTI, AEROSPACE, AGRICOLA, ALUMINIUM, ANABSTR, ANTE, APOLLIT, AQUALINE, AQUASCI, AQUIRE, BABS, BIBLIODATA, BIOENG, BIOSIS, BIOTECHABS, BIOTECHDS, BIOTECHNO, BLLDB, CABA, CAOLD, CAPLUS, CASREACT, CBNB, CEABA-VTB, ...' ENTERED AT 12:14:59 ON 27 FEB 2006

SEA (LACTIC ADJ ACID) (W) POLYMER?

L1QUE PLU=ON (LACTIC ADJ ACID) (W) POLYMER?

> _____ SEA DRANK _____

FILE 1MOBILITY

- 544 FILE ABI-INFORM
- FILE ADISCTI 86
- 30 FILE AEROSPACE
- FILE AGRICOLA 216
 - FILE ALUMINIUM 2
 - FILE ANABSTR
- FILE AQUALINE 24
- 32 FILE AQUASCI
- FILE BABS 26
- 2 FILE BIBLIODATA
- 111 FILE BIOENG
- 3112 FILE BIOSIS
 - 2 FILE BIOTECHABS
 - D RANK

FILE 'REGISTRY' ENTERED AT 12:18:39 ON 27 FEB 2006

E LACTIC ACID POLYMER/CN L2

9 SEA PLU=ON ("LACTIC ACID POLYMER"/CN OR "LACTIC ACID POLYMER LAURATE CALCIUM SALT"/CN OR "LACTIC ACID POLYMER LAURATE SODIUM SALT"/CN OR "LACTIC ACID POLYMER MONOPOTASSIUM SALT, SRU"/CN OR "LACTIC ACID POLYMER POTASSIUM SALT"/CN OR "LACTIC ACID POLYMER POTASSIUM SALT, SRU"/CN OR "LACTIC ACID POLYMER SODIUM SALT"/CN OR "LACTIC ACID POLYMER SODIUM SALT, SRU"/CN OR "LACTIC ACID POLYMER, SRU"/CN OR "LACTIC ACID POLYMERIC SYNTHETIC FIBERS"/CN)

E HYDROXYNAPHTHOIC ACID/CN

- L3 1 SEA PLU=ON "HYDROXYNAPHTHOIC ACID"/CN
 - O SEA PLU=ON HYDROXY NAPHTHOIC ACID/CN
 - O SEA PLU=ON HYDROXY!NAPHTHOIC ACID/CN
- 1 SEA PLU=ON 1-HYDROXY-2-NAPHTHOIC ACID/CN L6
 - O SEA PLU=ON -HYDROXY-!-NAPHTHOIC ACID/CN
- L8 O SEA PLU=ON HYDROXY-!-NAPHTHOIC ACID/CN
 - 1 SEA PLU=ON 3-HYDROXY-2-NAPHTHOIC ACID/CN
 - D QUE L1
 - D QUE L2

FILE 'CASREACT' ENTERED AT 12:23:01 ON 27 FEB 2006 L10 4 SEA PLU=ON L2

FILE 'REGISTRY' ENTERED AT 12:23:07 ON 27 FEB 2006 SEL PLU=ON L2 1- CHEM:

FILE 'CASREACT' ENTERED AT 12:23:10 ON 27 FEB 2006

FILE 'HCAPLUS' ENTERED AT 12:28:09 ON 27 FEB 2006

204 TERMS

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L12
    50645 SEA PLU=ON L11
     FILE 'REGISTRY' ENTERED AT 12:31:58 ON 27 FEB 2006
L13
               SEL PLU=ON L3 1- CHEM:
     FILE 'HCAPLUS' ENTERED AT 12:31:58 ON 27 FEB 2006
     FILE 'REGISTRY' ENTERED AT 12:31:58 ON 27 FEB 2006
               SEL PLU=ON L6 1- CHEM:
L14
     FILE 'HCAPLUS' ENTERED AT 12:31:59 ON 27 FEB 2006
     FILE 'REGISTRY' ENTERED AT 12:31:59 ON 27 FEB 2006
L15
               SEL PLU=ON L9 1- CHEM:
    FILE 'HCAPLUS' ENTERED AT 12:31:59 ON 27 FEB 2006
L16
          2600 SEA PLU=ON L13
L17
           858 SEA PLU=ON L14
L18
          5370 SEA PLU=ON L15
L19
           7783 SEA PLU=ON L3 OR L16 OR L6 OR L17 OR L9 OR L18 OR (HYDROXY
                (W) NAPHTHOIC (W) ACID)
L20
            19 SEA PLU=ON L19 AND L12
     FILE 'USPATFULL, USPAT2' ENTERED AT 12:33:17 ON 27 FEB 2006
     FILE 'REGISTRY' ENTERED AT 12:33:33 ON 27 FEB 2006
L21
               SEL PLU=ON L3 1- CHEM:
     FILE 'USPATFULL, USPAT2' ENTERED AT 12:33:33 ON 27 FEB 2006
     FILE 'REGISTRY' ENTERED AT 12:33:33 ON 27 FEB 2006
L22
               SEL PLU=ON L6 1- CHEM:
     FILE 'USPATFULL, USPAT2' ENTERED AT 12:33:34 ON 27 FEB 2006
     FILE 'REGISTRY' ENTERED AT 12:33:34 ON 27 FEB 2006
L23
               SEL PLU=ON L9 1- CHEM: 24 TERMS
     FILE 'USPATFULL, USPAT2' ENTERED AT 12:33:34 ON 27 FEB 2006
L24
          1347 SEA PLU=ON L21
L25
           894 SEA PLU=ON L22
L26
          7723 SEA PLU=ON L23
          9626 SEA PLU=ON L3 OR L24 OR L6 OR L25 OR L9 OR L26 OR (HYDROXY
L27
                (W) NAPHTHOIC (W) ACID)
         57969 SEA PLU=ON L11
L28
L29
         59157 SEA PLU=ON L2 OR L28
L30
           548 SEA PLU=ON L29 AND L27
           436 SEA PLU=ON L30 AND POLYMER
L31
           218 SEA PLU=ON L31 AND (SUSTAINED (W) RELEASE)
L32
            55 SEA PLU=ON L32 AND (PD<20000713 OR PRD<20000713)
L33
             1 SEA PLU=ON L32 AND (PD<19990713)
L34
             1 SEA PLU=ON L32 AND (PD<19990715)
L35
               D L35 IBIB ABS KWIC
               E IGARI/IN
               E IGARI/AP
               E IGARI YASUTAKA/IN
L36
            33 SEA PLU=ON "IGARI YASUTAKA"/IN
L37
             4 SEA PLU=ON L33 AND L36
               E HATA YOSHI/IN
               E HATA YOSHIOIN
               E HATA YOSHIO/IN
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A .

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L38
             17 SEA PLU=ON "HATA YOSHIO"/IN
L39
              4 SEA PLU=ON L38 AND L33
                E YAMAMOTO KAZUMICHI/IN
             51 SEA PLU=ON
L40
                            ("YAMAMOTO KAZUMI"/IN OR "YAMAMOTO KAZUMICHI"/IN)
L41
             2 SEA PLU=ON L33 AND L40
L42
             4 SEA PLU=ON L37 OR L39 OR L41
L43
             51 SEA PLU=ON L33 NOT L42
L44
             50 SEA PLU=ON L43 NOT L34
                D L44 1-5 IBIB ABS KWIC
                D L44 1-50 IBIB
     FILE 'HCAPLUS, USPATFULL, USPAT2' ENTERED AT 13:00:28 ON 27 FEB 2006
L45
           8524 SEA PLU=ON (POLY (W) LACTIC (W) ACID)
L46
            325 SEA PLU=ON (HYDROXY (W) NAPHTHOIC)
L47
              O SEA PLU=ON L45 AND L46
L48
           3930 SEA PLU=ON HYDROXYNAPHTHOIC ACID
L49
             20 SEA PLU=ON L45 AND L48
                           (POLY(W) GLYCOLIC ACID)
L50
           3564 SEA PLU=ON
L51
           2246 SEA PLU=ON L50 AND L45
             15 SEA PLU=ON L51 AND L48
L52
L53
             14 DUP REM L52 (1 DUPLICATE REMOVED)
                     ANSWERS '1-14' FROM FILE USPATFULL
                D L53 1-14 IBIB ABS KWIC
L54
             14 SEA PLU=ON L53 AND L49
L55
              6 SEA PLU=ON L49 NOT L53
L56
              5 DUP REM L55 (1 DUPLICATE REMOVED)
                     ANSWERS '1-4' FROM FILE USPATFULL
                     ANSWER '5' FROM FILE USPAT2
                D L56 1-5 IBIB KWIC
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FILE HOME

FILE STNINDEX

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem:

STRUCTURE FILE UPDATES: 26 FEB 2006 HIGHEST RN 875270-69-2 DICTIONARY FILE UPDATES: 26 FEB 2006 HIGHEST RN 875270-69-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

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http://www.cas.org/ONLINE/UG/regprops.html

FILE CASREACT

61 3

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FILE CONTENT:1840 - 26 Feb 2006 VOL 144 ISS 9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

Some CASREACT records are derived from the ZIC/VINITI database (1974-1991) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE HCAPLUS

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FILE COVERS 1907 - 27 Feb 2006 VOL 144 ISS 10 FILE LAST UPDATED: 26 Feb 2006 (20060226/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE USPATFULL
FILE COVERS 1971 TO PATENT PUBLICATION DATE: 23 Feb 2006 (20060223/PD)
FILE LAST UPDATED: 23 Feb 2006 (20060223/ED)
CA INDEXING IS CURRENT THROUGH 23 Feb 2006 (20060223/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 23 Feb 2006 (20060223/PD)

FILE USPAT2

FILE COVERS 2001 TO PUBLICATION DATE: 23 Feb 2006 (20060223/PD)

FILE LAST UPDATED: 23 Feb 2006 (20060223/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2005

CA INDEXING IS CURRENT THROUGH 23 Feb 2006 (20060223/UPCA) ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 23 Feb 2006 (20060223/PD) REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2005

=> d	que	sta		
L45		8524	SEA	(POLY (W) LACTIC (W) ACID)
L48		3930	SEA	HYDROXYNAPHTHOIC ACID
L49		20	SEA	L45 AND L48
L50		3564	SEA	(POLY(W) GLYCOLIC ACID)
L51		2246	SEA	L50 AND L45
L52		15	SEA	L51 AND L48
L53		14	DUP	REM L52 (1 DUPLICATE REMOVED)
L55		6	SEA	L49 NOT L53
L56		5	DUP	REM L55 (1 DUPLICATE REMOVED)

=> d 156 1-5 ibib kwic

L56 ANSWER 1 OF 5 USPATFULL on STN DUPLICATE 1

ACCESSION NUMBER: 2003:99376 USPATFULL

TITLE: Microfiber articles from multi-layer substrates INVENTOR(S): Kody, Robert S., Minneapolis, MN, UNITED STATES Perez, Mario A., Burnsville, MN, UNITED STATES

Longabach, John W., White Bear Lake, MN, UNITED STATES Klepzig, Kimberley D., Saint Paul, MN, UNITED STATES Sebastian, John M., Maplewood, MN, UNITED STATES Hobbs, Terry R., Saint Paul, MN, UNITED STATES Michel, Matthew J., Saint Paul, MN, UNITED STATES Lindquist, Timothy J., Saint Paul, MN, UNITED STATES

Sura, Ravi K., Woodbury, MN, UNITED STATES

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: 3M INNOVATIVE PROPERTIES COMPANY, PO BOX 33427, ST.

PAUL, MN, 55133-3427

NUMBER OF CLAIMS: 44 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 2 Drawing Page(s)

LINE COUNT: 1617

DETD . . . high and low density polyethylene, polypropylene, polyoxymethylene, poly(vinylidine fluoride), poly(methyl pentene), poly(ethylene-chlorotrifluoroethylene), poly(vinyl fluoride), poly(ethylene oxide), poly(ethylene terephthalate), poly(butylene terephthalate), poly(lactic acid), nylon 6, nylon 66, polybutene, and thermotropic liquid crystal polymers. Examples of suitable thermotropic liquid crystal polymers include aromatic polyesters. . . include a first type consisting of parahydroxybenzoic acid (PHB), terephthalic acid, and biphenol; a second type consisting of PHB and 2,6-hydroxynaphthoic acid; and ethylene glycol. Preferred polymers include polyolefins such as polypropylene

DETD . . . low density polyethylene, polypropylene, polyoxymethylene, poly(vinylidine fluoride), poly(methyl pentene), poly(ethylene-chlorotrifluoroethylene), poly(vinyl fluoride), poly(ethylene oxide), poly(ethylene terephthalate), poly(ethylene naphthalate), poly(butylene terephthalate), poly(lactic acid), nylon 612, nylon 6, nylon 66, polybutene, a thermotropic liquid crystal polymer, a blend of one or more of these. . .

CLM What is claimed is:

density polyethylene, polypropylene, polyoxymethylene, poly(vinylidine fluoride), poly(methyl pentene), poly(ethylene-chlorotrifluoroethylene), poly(vinyl fluoride), poly(ethylene oxide), poly(ethylene terephthalate), polyethylene naphthalate, poly(butylene terephthalate), poly(lactic acid), nylon 6
12, nylon 6, nylon 66, polybutene, a thermotropic liquid crystal polymer, a blend of one or more of. . .

L56 ANSWER 2 OF 5 USPATFULL on STN

ACCESSION NUMBER: 2005:30396 USPATFULL

TITLE: Sustained release compositions, process for producing

the same and utilization thereof

INVENTOR(S):

Saikawa, Akira, Kyoto, JAPAN Igari, Yasutaka, Hyogo, JAPAN Hata, Yoshio, Osaka, JAPAN

Yamamoto, Kazumichi, Nara-shi, JAPAN

NUMBER KIND DATE -----

PATENT INFORMATION: APPLICATION INFO.:

US 2005025826 A1 20050203 US 2004-799320 A1 20040312 20040312 (10)

RELATED APPLN. INFO.:

Division of Ser. No. US 2000-582926, filed on 5 Jul

2000, GRANTED, Pat. No. US 6740634 A 371 of

International Ser. No. WO 1999-JP86, filed on 13 Jan

1999, UNKNOWN

NUMBER DATE -----

PRIORITY INFORMATION:

JP 1998-6412 19980116

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

TAKEDA PHARMACEUTICALS NORTH AMERICA, INC, INTELLECTUAL

PROPERTY DEPARTMENT, 475 HALF DAY ROAD, SUITE 500,

LINCOLNSHIRE, IL, 60069

NUMBER OF CLAIMS:

EXEMPLARY CLAIM:

CLM-01-16

LINE COUNT:

1785

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A sustained-release composition containing a hydroxynaphthoic acid salt of a biologically active substance and a biodegradable polymer, a method of its production, and a pharmaceutical composition containing.

. . the biologically active substance is incorporated at high SUMM contents in the composition by allowing the biologically active substance and the hydroxynaphthoic acid to be co-present during formation of the composition, and when both are included in the biodegradable polymer, the biologically active. . at rates differing from those of the biologically active substance from the counterpart composition of the biologically active substance and hydroxynaphthoic acid prepared in the absence of the biodegradable polymer, which rate of release being controllable by choosing the appropriate kind of.

SUMM [0008] (1) a sustained-release composition containing a biologically active substance or salt thereof, a hydroxynaphthoic acid or salt thereof, and a biodegradable polymer or salt thereof,

SUMM [0011] (4) a sustained-release composition according to term (1) above wherein the hydroxynaphthoic acid is 3-hydroxy-2-naphthoic acid,

SUMM [0021] (13) a sustained-release composition according to term (3) above, wherein the molar ratio of the hydroxynaphthoic acid or salt thereof and the LH-RH derivative or salt thereof is from 3 to 4 to 4 to 3,

SUMM . removing the solvent from a mixture of a bioactive substance or salt thereof, a biodegradable polymer or salt thereof, and hydroxynaphthoic acid or a salt thereof,

SUMM . dispersing a bioactive substance or salt thereof in an organic solvent solution containing a biodegradable polymer or salt thereof and hydroxynaphthoic acid or a salt thereof, and subsequently removing the organic solvent,

[0032] (24) a method of suppressing bioactive substance initial burst SUMM from a sustained-release composition, comprising using hydroxynaphthoic acid or a salt thereof,

SUMM [0033] (25) a method of increasing the efficiency of bioactive substance inclusion in a sustained-release composition, comprising using hydroxynaphthoic acid or a salt thereof, SUMM [0038] (29) a sustained-release composition according to term (28) above, wherein the content of the hydroxynaphthoic acid or salt thereof is about 1 to about 7 mol, preferably about 1 to about 2 mol, per mol of. SUMM . containing a bioactive substance or salt thereof as an internal aqueous phase and a solution containing a biodegradable polymer and hydroxynaphthoic acid or a salt thereof as an oil phase, and subsequently removing the solvent, SUMM . production method for the sustained-release composition according to term (17) above, comprising producing a W/O emulsion with a solution containing hydroxynaphthoic acid or a salt thereof as an internal aqueous phase and a solution containing a bioactive substance or salt thereof and. SUMM . . for the sustained-release composition according to term (28) above, comprising mixing and dissolving a bioactive peptide or salt thereof and hydroxynaphthoic acid or salt thereof, and subsequently removing the solvent, and SUMM [0057] The hydroxynaphthoic acid for the present invention consists of a naphthalene ring and 1 hydroxyl group and 1 carboxyl group, both groups binding. SUMM [0059] Regarding the pKa values of the above-described hydroxynaphthoic acid isomers, the only known value is for 3-hydroxy-2-naphthoic acid (pKa=2.708, Kagaku Binran Kisohen II, Chemical Society of Japan, published Sep.. . SUMM [0060] The hydroxynaphthoic acid may be a salt. Salts include, for example, salts with inorganic bases (e.g., alkali metals such as sodium and potassium,. SUMM [0061] An example method of preparing the hydroxynaphthoic acid salt of the bioactive substance of the present invention is given below. SUMM [0062] (1) A hydrated organic solvent solution of hydroxynaphthoic acid is passed through a weakly basic ion exchange column to adsorb the acid and saturate the column. The excess portion of the hydroxynaphthoic acid is then removed through the hydrated organic solvent, after which a hydrated organic solvent solution of the bioactive substance or. SUMM . . solvent solution of the bioactive substance or salt thereof is passed, to convert the basic groups to the hydroxide type. Hydroxynaphthoic acid in an amount not more than the molar equivalent is added to the effluent recovered, and dissolved, followed by concentration;. SUMM [0064] Because the hydroxynaphthoic acid salt of a bioactive substance is very slightly soluble in water, although also depending on the bioactive substance used, said. SUMM . may be of the D-, L- or DL-configuration. Of these, lactic acid-glycolic acid polymers [hereinafter also referred to as poly(lactide-co-glycolide), poly(lactic acid -co-glycolic acid) or lactic acid-glycolic acid copolymer; generically refer to lactic acid-glycolic acid homopolymers and copolymers, unless otherwise specified; lactic acid. SUMM . of effect, and other factors. In the case of a sustained-release composition containing three components (bioactive substance or salt thereof, hydroxynaphthoic acid or salt thereof, and biodegradable polymer or salt thereof), the ratio by weight of bioactive peptide or salt thereof, for. . . salt thereof,

the ratio is about 0.01 to 80% by weight, preferably about 0.1 to 50% by

bioactive substance is contained, similar ratios by weight are

weight. When the hydroxynaphthoic acid salt of a

the salt of a bioactive peptide (referred to as (A)) with hydroxynaphthoic acid (referred to as (B)), the ratio by weight of (A) is normally about 5 to about 90% by weight, preferably. [0105] In the case of a sustained-release composition containing three SUMM components (bioactive substance or salt thereof, hydroxynaphthoic acid or salt thereof, and biodegradable polymer or salt thereof), the amount of hydroxynaphthoic acid or salt thereof formulated is preferably about 1/2 to about 2 mol, more preferably about 3/4 to about $\{fraction (4/3)\}.$ SUMM Designing the composition of the present invention is hereinafter described for a sustained-release composition containing three components: basic bioactive substance, hydroxynaphthoic acid, and biodegradable polymer. In this case, the bioactive substance, as a base, and hydroxynaphthoic acid, as an acid, are concurrently present in the composition; whether they are formulated in the composition in the form of. . . of a trace amount of water, at a point during production of the composition. Because the salt formed by any hydroxynaphthoic acid, which is very slightly soluble in water, with a bioactive substance is assumed to be very slightly soluble in water,. SUMM soluble in water as described above, judging from the above-described dissociation equilibrium. For this purpose, it is desirable that the hydroxynaphthoic acid or salt thereof be formulated in an amount at least nearly equivalent to that of the bioactive substance or salt. SUMM . above-described formula composition, the bioactive substance is mostly protonated and present with a counter ion. The counter ion is mainly hydroxynaphthoic acid (preferably hydroxynaphthoic acid). After the composition is administered to the living body, its oligomers and monomers begin to be produced over time due. . . is released without charge transfer, or in the form of a salt with a counter ion; transferable counter ions include hydroxynaphthoic acids, lactic acid-glycolic acid oligomers (of such molecular weights that transfer is possible), and monomers (lactic acid or glycolic acid), as. SUMM . stronger acids are usually preferentially produced, although the outcome also depends on their content ratio. Regarding the pKa values of hydroxynaphthoic acids, 3-hydroxy-2-naphthoic acid, for example, is known to have a pKa value of 2.708 (Kagaku Binran Kisohen II, Chemical Society of. SUMM [0111] Because hydroxynaphthoic acids are therefore stronger acids than lactic acid (pKa=3.86), glycolic acid (pKa=3.83), and lactic acid-glycolic acid oligomers, it is assumed that the hydroxynaphthoic acid salt of the bioactive substance is preferentially produced in the above-described composition, the characteristics of the salt being assumed to. SUMM [0112] Here, the fact that the salt formed by the hydroxynaphthoic acid with the bioactive substance is very slightly soluble in water, rather than insoluble in water, serves in favor of the sustained-release mechanism. In other words, as demonstrated in the above discussion of acid dissociation constant, the salt of hydroxynaphthoic acid, a stronger acid than

applicable. In the case of a sustained-release composition containing

the above-described lactic acid-glycolic acid oligomers and monomers, is predominant in the initial stage of release; the initial release pattern

tissue distribution profile of the salt serves as determinants of the bioactive substance release rate. Then, as the oligomers and monomers

of the drug can be regulated by the content ratio of hydroxynaphthoic acid, because the solubility and body

increase, due to reduction in the hydroxynaphthoic acid and hydrolysis of the biodegradable polymer, the bioactive substance release mechanism involving oligomers and monomers as counter ions becomes predominant gradually; even if the hydroxynaphthoic acid disappears substantially from said "composition," stable bioactive substance release is achieved. The increased efficiency of bioactive substance incorporation for production. . . [0113] The role of the hydroxynaphthoic acid in the

SUMM [0113] The role of the hydroxynaphthoic acid in the sustained-release composition containing the hydroxynaphthoic acid salt of a bioactive peptide can also be explained by the above-described mechanism.

SUMM . . . Production methods for sustained-release compositions of the present invention, which contain a biologically active substance or a salt thereof, a hydroxynaphthoic acid or a salt thereof, and a biodegradable polymer or a salt thereof, microspheres, are exemplified below.

SUMM [0121] In this method, an organic solvent solution of the hydroxynaphthoic acid or a salt thereof and biodegradable polymer or a salt thereof is prepared.

SUMM . . . an organic solvent of the biodegradable polymer or a salt thereof. Alcohols are preferable for an organic solvent of the hydroxynaphthoic acid or a salt thereof. These solvents may be used in mixtures at appropriate ratios. Of these solvents, mixtures of halogenated. . .

SUMM [0125] The hydroxynaphthoic acid or a salt thereof concentration in the organic solvent solution is normally chosen, for example, over the range from about. . .

SUMM [0126] The biologically active substance or salt thereof is added to thus-obtained organic solvent solution containing a hydroxynaphthoic acid or salt thereof, and a biodegradable polymer, and dissolved or dispersed.

SUMM [0127] The thus-obtained organic solvent solution containing a biologically active substance or salt thereof, a hydroxynaphthoic acid or salt thereof, and a biodegradable polymer, is then added to a water phase to form an O (oil phase)/W. . .

SUMM . . . to separate them, after which they are washed with distilled water several times to remove the free biologically active substance, hydroxynaphthoic acid, drug support, emulsifier etc. adhering to the microsphere surface, then again dispersed in distilled water etc. and freeze-dried.

SUMM . . . Next, to the organic solvent solution (oil phase) of the biologically active substance and biodegradable polymer, a solution of a hydroxynaphthoic acid or salt thereof [this solvent exemplified by water, alcohols (e.g., methanol, ethanol), pyridine solution, dimethylacetamide solution etc.] is added. This. . .

SUMM [0151] The thus-obtained W/O emulsion containing a biologically active substance or salt thereof, hydroxynaphthoic acid or salt thereof, and a biodegradable polymer or salt thereof, is then added to a water phase to form a. . .

SUMM [0154] First, an organic solvent solution containing a hydroxynaphthoic acid and a biodegradable polymer is prepared. Thus-obtained organic solvent solution is called as an oil phase. The preparation method is. . .

SUMM [0155] Althernatively, an organic solvent solution containing a hydroxynaphthoic acid and an organic solvent solution containing a biodegradable polymer may be prepared separately, and mixed together to prepare the oil. . .

SUMM [0160] Thus-obtained w/0/emulsion containing a biologically active substance or salt thereof, hydroxynaphthoic acid or salt thereof, and a biodegradable polymer, is then added to a water

phase to form a w(internal water phase)/o(oil. in aqueous drying method paragraph (I) above, which contains a SUMM composition consisting of a biologically active substance or salt thereof, hydroxynaphthoic acid or salt thereof and biodegradable polymer or salt thereof, during stirring, to precipitate and solidify the microspheres. Said coacervating agent. SUMM . miscible in the organic solvent, and that does not dissolve the salt complex of the biologically active substance with the hydroxynaphthoic acid and biocompatible polymer. Specifically, useful coacervating agents include, for example, silicon oil, sesame oil, soybean oil, corn oil, cotton seed. SUMM . repeatedly washed with heptane etc. to remove the coacervating agent etc. other than the composition of the biologically active substance, hydroxynaphthoic acid and biodegradable polymer, followed by drying under reduced pressure. Alternatively, the microspheres are washed in the same manner as in. SUMM . in aqueous drying method paragraph (I) above, which contains a composition consisting of a biologically active substance or salt thereof, hydroxynaphthoic acid or salt therof and biodegradable polymer or salt thereof, is sprayed via a nozzle into the drying chamber of a. . in aqueous drying method paragraph (I) above, which contains a SUMM composition consisting of a biologically active substance or salt thereof, hydroxynaphthoic acid or salt thereof and biodegradable polymer or salt thereof, may be dried by evaporating the organic solvent and water, while. SUMM [0172] A biologically active substance or salt thereof is added to a solution of a hydroxynaphthoic acid or salt thereof in an organic solvent to a weight ratio falling within the above-described content range for biologically active substances, to yield an organic solvent solution of the hydroxynaphthoic acid of the biologically active substance. [0174] Organic solvent removal for precipitation of a composition of a SUMM hydroxynaphthoic acid of the biologically active substance can be achieved by commonly known methods or methods based thereon. Such methods include, for. [0175] The thus-obtained composition of a hydroxynaphthoic SUMM acid of the biologically active substance can be again dissolved in an organic solvent to yield a sustained-release composition (microspheres or. SUMM [0177] The organic solvent solution containing the hydroxynaphthoic acid of the biologically active substance is then added to a water phase to form an O (oil phase)/W (water phase). . . to separate them, after which they are washed with distilled SUMM water several times to remove the free biologically active substance, hydroxynaphthoic acid, emulsifier etc. adhering to the microsphere surface, then again dispersed in distilled water etc. and freeze-dried. [0187] A biologically active substance or salt thereof is added to a SUMM solution of a hydroxynaphthoic acid or salt thereof in an organic solvent to a weight ratio falling within the above-described content range for biologically active substances, to yield an organic solvent solution of the hydroxynaphthoic acid of the biologically active substance, after which a sustained-release preparation (microspheres or microparticles) is prepared.

substance is then added to a water phase to form an O (oil phase)/W

[0189] The organic solvent solution containing the

hydroxynaphthoic acid of the biologically active

SUMM

(water phase).

CLM What is claimed is:

17. A method of producing a sustained-release composition containing a biologically active substance or salt thereof, a hydroxynaphthoic acid or salt thereof and a biodegradable polymer or salt thereof, comprising removing the organic solvent from a mixture of a bioactive substance or salt thereof in an organic solvent, a biodegradable polymer or salt thereof, and hydroxynaphthoic acid or a salt thereof.

- . dispersing a bioactive substance or salt thereof in an organic solvent solution containing a biodegradable polymer or salt thereof and hydroxynaphthoic acid or a salt thereof, and subsequently removing the organic solvent.
- 24. A method of suppressing bioactive substance initial burst from a sustained-release composition, comprising adding hydroxynaphthoic acid or a salt thereof to the sustained-release composition.
- 25. A method of increasing the efficiency of bioactive substance inclusion in a sustained-release composition, comprising adding hydroxynaphthoic acid or a salt thereof to the sustained-release composition.

L56 ANSWER 3 OF 5 USPATFULL on STN

ACCESSION NUMBER: 2004:161347 USPATFULL

TITLE: Process for producing polymer INVENTOR(S): Hata, Yoshio, Ibaraki, JAPAN

Igari, Yasutaka, Kobe, JAPAN

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Osaka, JAPAN

(non-U.S. corporation)

NUMBER DATE

PRIORITY INFORMATION: JP 1998-356497 19981215

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Hightower, P. Hampton

LEGAL REPRESENTATIVE: Wenderoth, Lind & Ponack, L.L.P.

NUMBER OF CLAIMS: 10 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT: 1414

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . methyl cellulose, carboxymethyl cellulose and dextrin), disintegrating agents (such as calcium carboxymethyl cellulose), and drug retention agents (such as gelatin, hydroxynaphthoic acid and salicylic acid).

SUMM . . . "poly- α -hydroxycarboxylic acid" include lactic acid and glycolic acid, as well as their copolymers (which may be referred to as poly(lactide-co-glycolide), poly(lactic acid -co-glycolic acid) or lactic acid-glycolic acid polymer, and unless otherwise indicated, generically referred to as homopolymers of lactic

acid and glycolic. . .

INVENTOR(S):

SUMM Drug retention agents (such as gelatin, hydroxynaphthoic acid and salicylic acid) may also be added as necessary during the following production process in accordance with processs which are.

L56 ANSWER 4 OF 5 USPATFULL on STN

ACCESSION NUMBER: 2004:129629 USPATFULL

TITLE: Sustained release compositions, process for producing

the same and utilization thereof Saikawa, Akira, Nagaokakyo, JAPAN Igari, Yasutaka, Kobe, JAPAN

Igari, Yasutaka, Kobe, JAPAN Hata, Yoshio, Toyonaka, JAPAN Yamamoto, Kazumichi, Nara, JAPAN

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Osaka, JAPAN

(non-U.S. corporation)

NUMBER DATE

PRIORITY INFORMATION: JP 1998-6412 19980116

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Wax, Robert A.

ASSISTANT EXAMINER: Wax, Robert A.
Lukton, David

LEGAL REPRESENTATIVE: Ramesh, Elaine M., Chao, Mark

NUMBER OF CLAIMS: 18
EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT: 1772

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A sustained-release composition containing a hydroxynaphthoic acid salt of a biologically active substance and a biodegradable polymer, a method of its production, and a pharmaceutical composition containing. . .

SUMM . . . a biologically active substance is incorporated in high concentration in a composition by allowing the biologically active substance and the hydroxynaphthoic acid to be co-present during formation of the composition, and when both are included in a biodegradable polymer, the biologically active . . . at rates differing from those of the biologically active substance from the counterpart composition of the biologically active substance and hydroxynaphthoic acid prepared in the absence of the biodegradable polymer, which rate of release is controllable by choosing the appropriate kind of . .

SUMM (1) a sustained-release composition containing a biologically active substance or salt thereof, a hydroxynaphthoic acid or salt thereof, and a biodegradable polymer or salt thereof,

SUMM (4) a sustained-release composition according to term (1) above wherein the hydroxynaphthoic acid is 3-hydroxy-2-naphthoic

SUMM (13) a sustained-release composition according to term (3) above, wherein the molar ratio of the hydroxynaphthoic acid or salt thereof and the LH-RH derivative or salt thereof is from 3 to 4 to 4 to 3,

- SUMM . . . removing the solvent from a mixture of a bioactive substance or salt thereof, a biodegradable polymer or salt thereof, and hydroxynaphthoic acid or a salt thereof,
- SUMM . . . dispersing a bioactive substance or salt thereof in an organic solvent solution containing a biodegradable polymer or salt thereof and hydroxynaphthoic acid or a salt thereof, and subsequently removing the organic solvent,
- SUMM (24) a method of suppressing bioactive substance initial burst from a sustained-release composition, comprising using hydroxynaphthoic acid or a salt thereof,
- SUMM (25) a method of increasing the efficiency of bioactive substance inclusion in a sustained-release composition, comprising using hydroxynaphthoic acid or a salt thereof,
- SUMM (29) a sustained-release composition according to term (28) above, wherein the content of the **hydroxynaphthoic acid** or salt thereof is about 1 to about 7 mol, preferably about 1 to about 2 mol, per mol of. . .
- SUMM . . . containing a bioactive substance or salt thereof as an internal aqueous phase and a solution containing a biodegradable polymer and hydroxynaphthoic acid or a salt thereof as an oil phase, and subsequently removing the solvent,
- SUMM . . . production method for the sustained-release composition according to term (17) above, comprising producing a W/O emulsion with a solution containing hydroxynaphthoic acid or a salt thereof as an internal aqueous phase and a solution containing a bioactive substance or salt thereof and. . .
- SUMM . . . for the sustained-release composition according to term (28) above, comprising mixing and dissolving a bioactive peptide or salt thereof and hydroxynaphthoic acid or salt thereof, and subsequently removing the solvent, and
- SUMM The hydroxynaphthoic acid for the present invention consists of a naphthalene ring and 1 hydroxyl group and 1 carboxyl group, both groups binding. . .
- Regarding the pKa values of the above-described hydroxynaphthoic acid isomers, the only known value is for 3-hydroxy-2-naphthoic acid (pKa=2.708, Kagaku Binran Kisohen II, Chemical Society of Japan, published Sep.. . .
- SUMM The hydroxynaphthoic acid may be a salt. Salts include, for example, salts with inorganic bases (e.g., alkali metals such as sodium and potassium,. . .
- SUMM An example method of preparing the hydroxynaphthoic acid salt of the bioactive substance of the present invention is given below.
- SUMM (1) A hydrated organic solvent solution of hydroxynaphthoic acid is passed through a weakly basic ion exchange column to adsorb the acid and saturate the column. The excess portion of the hydroxynaphthoic acid is then removed through the hydrated organic solvent, after which a hydrated organic solvent solution of the bioactive substance or. . .
- SUMM . . . solvent solution of the bioactive substance or salt thereof is passed, to convert the basic groups to the hydroxide type.

 Hydroxynaphthoic acid in an amount not more than the molar equivalent is added to the effluent recovered, and dissolved, followed by concentration; . .
- SUMM Because the hydroxynaphthoic acid salt of a bioactive substance is very slightly soluble in water, although also depending on the bioactive substance used, said. . .
- SUMM . . . may be of the D-, L- or DL-configuration. Of these, lactic acid-glycolic acid polymers [hereinafter also referred to as poly(lactide-co-glycolide), poly(lactic acid -co-glycolic acid) or lactic acid-glycolic acid copolymer; generically

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refer to lactic acid-glycolic acid homopolymers and copolymers, unless
      otherwise specified; lactic acid.
SUMM
            . of effect, and other factors. In the case of a
      sustained-release composition containing three components (bioactive
      substance or salt thereof, hydroxynaphthoic acid or
      salt thereof, and biodegradable polymer or salt thereof), the ratio by
      weight of bioactive peptide or salt thereof, for. . . salt thereof,
      the ratio is about 0.01 to 80% by weight, preferably about 0.1 to 50% by
      weight. When the hydroxynaphthoic acid salt of a
      bioactive substance is contained, similar ratios by weight are
      applicable. In the case of a sustained-release composition containing
       the salt of a bioactive peptide (referred to as (A)) with
      hydroxynaphthoic acid (referred to as (B)), the ratio
      by weight of (A) is normally about 5 to about 90% by weight, preferably.
SUMM
       In the case of a sustained-release composition containing three
      components (bioactive substance or salt thereof,
      hydroxynaphthoic acid or salt thereof, and
      biodegradable polymer or salt thereof), the amount of
      hydroxynaphthoic acid or salt thereof formulated is
      preferably about 1/2 to about 2 mol, more preferably about 3/4 to about
       4/3 mol,.
SUMM
      Designing the composition of the present invention is hereinafter
      described for a sustained-release composition containing three
      components: basic bioactive substance, hydroxynaphthoic
      acid, and biodegradable polymer. In this case, the bioactive
       substance, as a base, and hydroxynaphthoic acid, as
      an acid, are concurrently present in the composition; whether they are
       formulated in the composition in the form of. . . of a trace amount
      of water, at a point during production of the composition. Because the
       salt formed by any hydroxynaphthoic acid, which is
      very slightly soluble in water, with a bioactive substance is assumed to
      be very slightly soluble in water,.
SUMM
              soluble in water as described above, judging from the
      above-described dissociation equilibrium. For this purpose, it is
      desirable that the hydroxynaphthoic acid or salt
       thereof be formulated in an amount at least nearly equivalent to that of
       the bioactive substance or salt.
SUMM
          . . above-described formula composition, the bioactive substance is
      mostly protonated and present with a counter ion. The counter ton is
      mainly hydroxynaphthoic acid (preferably
      hydroxynaphthoic acid). After the composition is
      administered to the living body, its oligomers and monomers begin to be
      produced over time due. . . is released without charge transfer, or
       in the form of a salt with a counter ion; transferable counter ions
       include hydroxynaphthoic acids, lactic acid-glycolic
      acid oligomers (of such molecular weights that transfer is possible),
      and monomers (lactic acid or glycolic acid), as.
SUMM
            . stronger acids are usually preferentially produced, although
       the outcome also depends on their content ratio. Regarding the pKa
      values of hydroxynaphthoic acids,
       3-hydroxy-2-naphthoic acid, for example, is known to have a pKa value of
       2.708 (Kagaku Binran Kisohen II, Chemical Society of.
SUMM
      Because hydroxynaphthoic acids are therefore
       stronger acids than lactic acid (pKa=3.86.), glycolic acid (pKa=3.83),
       and lactic acid-glycolic acid oligomers, it is assumed that the
      hydroxynaphthoic acid salt of the bioactive substance
       is preferentially produced in the above-described composition, the
      characteristics of the salt being assumed to.
      Here, the fact that the salt formed by the hydroxynaphthoic
SUMM
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acid with the bioactive substance is very slightly soluble in

water, rather than insoluble in water, serves in favor of the sustained-release mechanism. In other words, as demonstrated in the above discussion of acid dissociation constant, the salt of hydroxynaphthoic acid, a stronger acid than the above-described lactic acid-qlycolic acid oligomers and monomers, is predominant in the initial stage of release; the initial release pattern of the drug can be regulated by the content ratio of hydroxynaphthoic acid, because the solubility and body tissue distribution profile of the salt serves as determinants of the bioactive substance release rate. Then, as the oligomers and monomers increase, due to reduction in the hydroxynaphthoic acid and hydrolysis of the biodegradable polymer, the bioactive substance release mechanism involving oligomers and monomers as counter ions becomes predominant gradually; even if the hydroxynaphthoic acid disappears substantially from said "composition," stable bioactive substance release is achieved. The increased efficiency of bioactive substance incorporation for production. The role of the hydroxynaphthoic acid in the

- SUMM The role of the hydroxynaphthoic acid in the sustained-release composition containing the hydroxynaphthoic acid salt of a bioactive peptide can also be explained by the above-described mechanism.
- SUMM Production methods for sustained-release compositions of the present invention, which contain a biologically active substance or a salt thereof, a hydroxynaphthoic acid or a salt thereof, and a biodegradable polymer or a salt thereof, microspheres, are exemplified below.
- SUMM In this method, an organic solvent solution of the hydroxynaphthoic acid or a salt thereof and biodegradable polymer or a salt thereof is prepared.
- SUMM . . . an organic solvent of the biodegradable polymer or a salt thereof. Alcohols are preferable for an organic solvent of the hydroxynaphthoic acid or a salt thereof. These solvents may be used in mixtures at appropriate ratios. Of these solvents, mixtures of halogenated. . .
- SUMM The hydroxynaphthoic acid or a salt thereof concentration in the organic solvent solution is normally chosen, for example, over the range from about. . .
- SUMM The biologically active substance or salt thereof is added to thus-obtained organic solvent solution containing a hydroxynaphthoic acid or salt thereof, and a biodegradable polymer, and dissolved or dispersed.
- SUMM The thus-obtained organic solvent solution containing a biologically active substance or salt thereof, a hydroxynaphthoic acid or salt thereof, and a biodegradable polymer, is then added to a water phase to form an O (oil phase)/W. . .
- SUMM . . . to separate them, after which they are washed with distilled water several times to remove the free biologically active substance, hydroxynaphthoic acid, drug support, emulsifier etc. adhering to the microsphere surface, then again dispersed in distilled water etc. and freeze-dried.
- SUMM Next, to the organic solvent solution (oil phase) of the biologically active substance and biodegradable polymer, a solution of a hydroxynaphthoic acid or salt thereof [this solvent exemplified by water, alcohols (e.g., methanol, ethanol), pyridine solution, dimethylacetamide solution etc.] is added. This. . .
- SUMM The thus-obtained W/O emulsion containing a biologically active substance or salt thereof, hydroxynaphthoic acid-or salt thereof, and a biodegradable polymer or salt thereof, is then added to a water phase to form a. . .
- SUMM First, an organic solvent solution containing a hydroxynaphthoic acid and a biodegradable polymer is prepared. Thus-obtained

organic solvent solution is referred to as an oil phase. The preparation method. . .

- SUMM Alternatively, an organic solvent solution containing a hydroxynaphthoic acid and an organic solvent solution containing a biodegradable polymer may be prepared separately, and mixed together to prepare the oil. . .
- SUMM Thus-obtained W/O emulsion containing a biologically active substance or salt thereof, hydroxynaphthoic acid or salt thereof, and a biodegradable polymer, is then added to a water phase to form a w(internal water phase)/o(oil. . .
- SUMM . . . in aqueous drying method paragraph (I) above, which contains a composition consisting of a biologically active substance or salt thereof, hydroxynaphthoic acid or salt thereof and biodegradable polymer or salt thereof, during stirring, to precipitate and solidify the microspheres. Said coacervating agent. . .
- SUMM . . . miscible in the organic solvent, and that does not dissolve the salt complex of the biologically active substance with the hydroxynaphthoic acid and biocompatible polymer.

 Specifically, useful coacervating agents include, for example, silicon oil, sesame oil, soybean oil, corn oil, cotton seed. . .
- SUMM . . . repeatedly washed with heptane etc. to remove the coacervating agent etc. other than the composition of the biologically active substance, hydroxynaphthoic acid and biodegradable polymer, followed by drying under reduced pressure. Alternatively, the microspheres are washed in the same manner as in. . .
- SUMM . . . in aqueous drying method paragraph (I) above, which contains a composition consisting of a biologically active substance or salt thereof, hydroxynaphthoic acid or salt thereof and biodegradable polymer or salt thereof, is sprayed via a nozzle into the drying chamber of a. . .
- SUMM . . . in aqueous drying method paragraph (I) above, which contains a composition consisting of a biologically active substance or salt thereof, hydroxynaphthoic acid or salt thereof and biodegradable polymer or salt thereof, may be dried by evaporating the organic solvent and water, while. . .
- SUMM A biologically active substance or salt thereof is added to a solution of a hydroxynaphthoic acid or salt thereof in an organic solvent to a weight ratio falling within the above-described content range for biologically active substances, to yield an organic solvent solution of the hydroxynaphthoic acid of the biologically active substance.
- SUMM Organic solvent removal for precipitation of a composition of a hydroxynaphthoic acid of the biologically active substance can be achieved by commonly known methods or methods based thereon. Such methods include, for. . .
- SUMM The thus-obtained composition of a hydroxynaphthoic acid of the biologically active substance can be again dissolved in an organic solvent to yield a sustained-release composition (microspheres or. . .
- SUMM The organic solvent solution containing the hydroxynaphthoic acid of the biologically active substance is then added to a water phase to form an O (oil phase)/W (water phase). . .
- SUMM . . . to separate them, after which they are washed with distilled water several times to remove the free biologically active substance, hydroxynaphthoic acid, emulsifier etc. adhering to the microsphere surface, then again dispersed in distilled water etc. and freeze-dried.
- SUMM A biologically active substance or salt thereof is added to a solution of a hydroxynaphthoic acid or salt thereof in an organic solvent to a weight ratio falling within the above-described content range for biologically active substances, to yield an organic

solvent solution of the hydroxynaphthoic acid of the biologically active substance, after which a sustained-release preparation (microspheres or microparticles) is prepared. SUMM The organic solvent solution containing the hydroxynaphthoic acid of the biologically active substance is then added to a water phase to form an O (oil phase)/W (water phase). What is claimed is:

CLM

- 1. A sustained-release composition comprising a biologically active peptide, hydroxynaphthoic acid or salt thereof, and a biodegradable polymer or salt thereof.
- 3. A sustained-release composition according to claim 1 wherein the hydroxynaphthoic acid is 3-hydroxy-2-naphthoic acid.
- 12. A sustained-release composition according to claim 2, wherein the molar ratio of the hydroxynaphthoic acid or salt thereof and the LH-RH derivative or salt thereof is from 3 to 4 to 4 to
- 17. A sustained-release composition comprising the hydroxynaphthoic acid salt of a biologically active peptide and a biodegradable polymer or salt thereof.

L56 ANSWER 5 OF 5 USPAT2 on STN

ACCESSION NUMBER: 2003:120898 USPAT2

TITLE: Orally administered dosage forms of GABA analog

prodrugs having reduced toxicity

INVENTOR(S): Cundy, Kenneth C., Redwood City, CA, United States

Gallop, Mark A., Los Altos, CA, United States

PATENT ASSIGNEE(S): Xenoport, Inc., Santa Clara, CA, United States (U.S.

corporation)

NUMBER KIND DATE US 6833140 B2 20041221 US 2002-170127 20020611 PATENT INFORMATION: APPLICATION INFO.: 20020611 (10)

NUMBER DATE PRIORITY INFORMATION: US 2001-297521P 20010611 (60) US 2001-298514P 20010614 (60) US 2002-366090P 20020319 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Spear, James M.

ASSISTANT EXAMINER: Tran, S.

LEGAL REPRESENTATIVE: Singh, Sunil K., Cooley Godward LLP

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT: 2426

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

. . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid,

trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, hydroxynaphthoic acid, salicylic acid, stearic acid, muconic acid, and the like; or (2) salts

formed when an acidic proton present in the.

DETD . . release period. Representative biodegradable polymer comprise a member selected from the group consisting of biodegradable poly(amides),

poly (amino acids), poly(esters), poly(lactic
acid), poly(glycolic acid), poly(carbohydrate),
poly(orthoester), poly (orthocarbonate), poly(acetyl), poly(anhydrides),
biodegradable poly(dehydropyrans), and poly(dioxinones) which are known
in the art (Rosoff, Controlled. . .

DETD

. . . dimensioned passageway. Representative materials suitable for forming a passageway, or a multiplicity of passageways comprise a leachable poly(glycolic) acid or poly(lactic) acid polymer in the wall, a gelatinous filament, poly(vinyl alcohol), leach-able polysaccharides, salts, and oxides. A pore passageway, or more than. . .

=> d 153 1-14 ibib abs kwic

L53 ANSWER 1 OF 14 USPATFULL on STN DUPLICATE 1

ACCESSION NUMBER:

2003:120898 USPATFULL

TITLE: Orally administered do

Orally administered dosage forms of GABA analog

prodrugs having reduced toxicity

INVENTOR(S): Cundy, Kenneth C., Redwood City, CA, UNITED STATES

Gallop, Mark A., Los Altos, CA, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 200308338	2 A1	20030501	
TAILMI INFORMATION.	US 6833140	B2	20030301	
APPLICATION INFO.:	US 2002-1701	27 A1	20020611	(10)

NUMBER DATE

PRIORITY INFORMATION: US 2001-297521P 20010611 (60)

US 2001-298514P 20010614 (60)

US 2002-366090P 20020319 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: COOLEY GODWARD, LLP, 3000 EL CAMINO REAL, 5 PALO ALTO

SQUARE, PALO ALTO, CA, 94306

NUMBER OF CLAIMS: 52 EXEMPLARY CLAIM: 1 LINE COUNT: 2468

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides an extended release oral dosage form of prodrugs of gabapentin and other GABA analogs, which dosage forms exhibit reduced toxicity. The dosage forms are particularly useful in administering those prodrugs of gabapentin and other GABA analogs that are metabolized to form an aldehyde. The dosage forms of the invention are useful for treating or preventing diseases and/or disorders for which the parent gabapentin or other GABA analog are known to be therapeutically effective.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1-carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, hydroxynaphthoic acid, salicylic acid, stearic acid, muconic acid, and the like; or (2) salts formed when an acidic proton present in the. . .

DETD . . . release period. Representative biodegradable polymer comprise a member selected from the group consisting of biodegradable poly(amides), poly (amino acids), poly(esters), poly(lactic acid), poly(glycolic acid), poly(carbohydrate), poly(orthoester), poly (orthocarbonate), poly(acetyl), poly(anhydrides), biodegradable poly(dehydropyrans), and poly(dioxinones) which are known in the art (Rosoff, Controlled Release

of. . .

DETD . . . least one controlled-release dimensioned passageway.

Representative materials suitable for forming a passageway, or a multiplicity of passageways comprise a leachable poly(
glycolic) acid or poly(lactic)

acid polymer in the wall, a gelatinous filament, poly(vinyl alcohol), leach-able polysaccharides, salts, and oxides. A pore passageway, or more than. . .

L53 ANSWER 2 OF 14 USPATFULL on STN

ACCESSION NUMBER:

2006:10607 USPATFULL

TITLE:

. •

Quinoline- and isoquinoline-based compounds exhibiting

ATP-utilizing enzyme inhibitory activity, and

compositions, and uses thereof

INVENTOR(S):

Dickson, John K. JR., Apex, NC, UNITED STATES

Williams, Kevin P., Chapel Hill, NC, UNITED STATES Hodge, Carl Nicholas, Los Gatos, CA, UNITED STATES

NUMBER DATE

PRIORITY INFORMATION:

US 2004-577224P 20040604 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

FINNEGAN, HENDERSON, FARABOW, GARRETT & DUNNER, LLP,

901 NEW YORK AVENUE, NW, WASHINGTON, DC, 20001-4413, US

NUMBER OF CLAIMS: 54
EXEMPLARY CLAIM: 1
LINE COUNT: 3550

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB

Quinoline- and isoquinoline-based compounds exhibiting ATP-utilizing enzyme inhibitory activity, methods of using compounds exhibiting ATP-utilizing enzyme inhibitory activity, and compositions comprising compounds exhibiting ATP-utilizing enzyme inhibitory activity, are disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM

. . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1-carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, hydroxynaphthoic acid, salicylic acid, stearic acid, muconic acid, and the like; or (2) salts formed when an acidic proton present in the. . .

SUMM

SUMM

. . . the compound over a sustained release period. Representative biodegradable polymers include a polymer chosen from biodegradable poly(amides), poly(amino acids), poly(esters), poly(lactic acid), poly(glycolic acid), poly(carbohydrate), poly(orthoester), poly (orthocarbonate), poly(acetyl), poly(anhydrides), biodegradable

(01

poly(dehydropyrans), and poly(dioxinones).
. . least one controlled-release dimensioned passageway.
Representative materials suitable for forming a passageway, or a
multiplicity of passageways include a leachable poly(
glycolic) acid or poly(lactic)

acid polymer in the wall, a gelatinous filament, poly(vinyl alcohol), leach-able polysaccharides, salts, and oxides. A pore passageway, or more than. . .

L53 ANSWER 3 OF 14 USPATFULL on STN

ACCESSION NUMBER:

2006:3546 USPATFULL

TITLE:

Pharmaceutical compositions with synchronized

solubilizer release

INVENTOR (S):

Fikstad, David, Salt Lake City, UT, UNITED STATES

Venkateshwaran, Srinivasan, Salt Lake City, UT, UNITED

STATES

Giliyar, Chandrashekar, Salt Lake City, UT, UNITED

STATES

Patel, Mahesh, Salt Lake City, UT, UNITED STATES

PATENT ASSIGNEE(S): Lipocine, Inc. (U.S. corporation)

KIND DATE NUMBER -----PATENT INFORMATION: US 2006003002 A1 20060105 US 2005-122788 A1 20050504 (11) APPLICATION INFO.:

RELATED APPLN. INFO.: Continuation of Ser. No. US 2003-700838, filed on 3 Nov

2003, PENDING

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: THORPE NORTH & WESTERN, LLP., 8180 SOUTH 700 EAST,

SUITE 200, SANDY, UT, 84070, US

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1

. •

NUMBER OF DRAWINGS: 10 Drawing Page(s)

LINE COUNT: 1831

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Pharmaceutical compositions with synchronized solubilizer release as well as various methods associated therewith, are disclosed and described. More specifically, the aqueous solubility of a drug is enhanced by synchronized release of a solubilizer.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

. . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1-DETD carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid,

gluconic acid, glutamic acid, hydroxynaphthoic acid,

salicylic acid, stearic acid, muconic acid, and the like; or (2) salts

formed when an acidic proton present in the. .

DETD . . . with tannic acid) or hydrolysable esters, erodible matrices (e.g., polyamides such as albumin, collagen, poly(L-glutamic-co-y-ethyl-Lglutamate, etc., polyesters like poly (s-caprolactone), poly(

lactic acid), poly(glycolic acid) and their copolymers, poly(ortho esters) and polyanhydrides), ion exchange resins (such as divinylbenzene-

polystyrenesulfonate copolymer), waxes (such as microcrystalline wax), insoluble. .

L53 ANSWER 4 OF 14 USPATFULL on STN

ACCESSION NUMBER: 2005:331361 USPATFULL

TITLE: Certain triazole-based compounds, compositions, and

uses thereof

INVENTOR(S): Hodge, Carl Nicholas, Los Gatos, CA, UNITED STATES

Dickson, John K. JR., Apex, NC, UNITED STATES Popa-Burke, Ioana G., Durham, NC, UNITED STATES

Mendoza, Jose Serafin, Chapel Hill, NC, UNITED STATES

NUMBER KIND DATE _____ US 2005288347 A1 20051229 US 2005-90956 A1 20050325 (11) PATENT INFORMATION: APPLICATION INFO.:

NUMBER DATE _____

US 2004-556795P 20040326 (60) PRIORITY INFORMATION: US 2004=638944P 20041223 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: FINNEGAN, HENDERSON, FARABOW, GARRETT & DUNNER, LLP,

901 NEW YORK AVENUE, NW, WASHINGTON, DC, 20001-4413, US

NUMBER OF CLAIMS: 86 EXEMPLARY CLAIM: 1 LINE COUNT: 5939

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Thiotriazole-based chemical entities exhibiting ATP-utilizing enzyme inhibitory activity, methods of using such chemical entities, and compositions comprising such chemical entities, are described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1-

carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid,

trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, hydroxynaphthoic acid,

salicylic acid, stearic acid, muconic acid, and the like; or (2) salts

formed when an acidic proton present in the.

. the compound over a sustained release period. Representative SUMM biodegradable polymers include a polymer chosen from biodegradable

poly(amides), poly(amino acids), poly(esters), poly(

lactic acid), poly(glycolic

acid), poly(carbohydrate), poly(orthoester), poly

(orthocarbonate), poly(acetyl), poly(anhydrides), biodegradable

poly(dehydropyrans), and poly(dioxinones).

SUMM . . . least one controlled-release dimensioned passageway.

Representative materials suitable for forming a passageway, or a

multiplicity of passageways include a leachable poly(

glycolic) acid or poly(lactic)

acid polymer in the wall, a gelatinous filament, poly(vinyl alcohol), leach-able polysaccharides, salts, and oxides. A pore passageway, or more than.

L53 ANSWER 5 OF 14 USPATFULL on STN

ACCESSION NUMBER: 2005:313132 USPATFULL

TITLE: 4-Substituted piperidine derivatives

INVENTOR(S): McKerracher, Lisa, Iles-des-Soeurs, CANADA

> Thouin, Eryk, Montreal, CANADA Lubell, William, Montreal, CANADA

Snow, Robert, West Chester, PA, UNITED STATES

Gingras, Karine, Montreal, CANADA

PATENT ASSIGNEE(S): Bioaxone Therapeutique Inc., Montreal, CANADA (non-U.S.

corporation)

KIND DATE NUMBER ----- -----PATENT INFORMATION: US 2005272751 A1 20051208 APPLICATION INFO.: US 2005-65696 A1 20050224 (11)

NUMBER DATE

PRIORITY INFORMATION: US 2004-546936P 20040224 (60)

DOCUMENT TYPE: Utility APPLICATION FILE SEGMENT:

LEGAL REPRESENTATIVE: KIRKPATRICK & LOCKHART NICHOLSON GRAHAM LLP, (FORMERLY

KIRKPATRICK & LOCKHART LLP), 75 STATE STREET, BOSTON,

MA, 02109-1808, US

NUMBER OF CLAIMS: 46 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 12 Drawing Page(s)

LINE COUNT: 5844

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Substituted piperidine compounds represented by the structure I are provided, ##STR1## wherein each of R.sub.1a, R.sub.1b, R.sub.1c,

R.sub.1d, R.sub.1e, R.sub.1f, R.sub.1g, R.sub.1h, R.sub.2, R.sub.2A, R.sub.3, R.sub.4, A, X, a, x and n is as defined in the specification. Substituted piperidine compounds of structure I may permeate or penetrate across a nerve cell membrane into the interior of a nerve cell, may inhibit intracellular Rho kinase enzyme found in nerve cells in mammals, and may find utility in repair of damaged nerves in the central and peripheral nervous system of such mammals. These compounds may induce the regeneration or growth of neurites in mammalian nerve cells and may thereby induce regeneration of damaged or diseased nerve tissue. These compounds also find additional utility as antagonists of the enzyme Rho kinase in treatment of disease states in which Rho kinase is implicated. Pharmaceutical compositions containing these substituted piperidine compounds may be useful to promote neurite growth and in the treatment of diseases in which Rho kinase inhibition is indicated.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM

. . 2-ethylsuccinic acid, fumaric acid, glucoheptonic acid, glubionic acid, gluconic acid, glutamic acid, glycollylarsanilic acid, hexylresorcinic acid, hydrobromic acid, hydrochloric acid,

hydroxynaphthoic acid, 3-hydroxynaphthoic

acid, hydriodic acid, 2-hydroxyethanesulfonic acid, isethionic acid, lactic acid, lactobionic acid, laurylsulfuric acid, levulinic acid, malic acid, maleic acid, mandelic acid,. . .

SUMM

. . . polyethylene glycol, a polyethylene glycol ether or ester, an alcohol, a transdermal penetration enhancer, a bioabsorbable polymer such as a poly(lactic acid), a poly(glycolic acid), a copolymer of lactic

acid and glycolic acid, a bioabsorbable gelatin such as a gelfoam, a phospholipid, and combinations thereof.

L53 ANSWER 6 OF 14 USPATFULL on STN

ACCESSION NUMBER:

2005:221616 USPATFULL

TITLE:

Treating or preventing restless legs syndrome using

prodrugs of GABA analogs

INVENTOR(S):

Barrett, Ronald W., Saratoga, CA, UNITED STATES

Canafax, Daniel M., Half Moon Bay, CA, UNITED STATES

NUMBER DATE

PRIORITY INFORMATION: US 2003-504172P 20030917 (60) US 2003-504279P 20030918 (60)

US 2004-538495P 20040122 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Sunil K. Singh, Dorsey & Whitney LLP, Intellectual

Property Department, Four Embarcadero Center, Suite

3400, San Francisco, CA, 94111-4187, US

NUMBER OF CLAIMS: 28
EXEMPLARY CLAIM: 1
LINE COUNT: 2678

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Disclosed herein are methods of using prodrugs of gamma aminobutyric acid (GABA) analogs and pharmaceutical compositions thereof to treat or prevent restless legs syndrome in humans, and pharmaceutical compositions of prodrugs of GABA analogs useful in treating or preventing restless legs syndrome.

10/019,786

02/27/2006

CAS INDEXING IS AVAILABLE FOR THIS PATENT. DETD . . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, hydroxynaphthoic acid, salicylic acid, stearic acid, muconic acid, and the like; or (2) salts formed when an acidic proton present in the. DETD . . . sustained release period. Representative biodegradable polymers comprise a member selected from the group consisting of biodegradable poly(amides), poly(amino acids), poly(esters), poly(lactic acid), poly(glycolic acid), poly(carbohydrate), poly(orthoester), poly(orthocarbonate), poly(acetyl), poly(anhydrides), biodegradable poly(dihydropyrans), and poly(dioxinones) which are known in the art (Rosoff, Controlled Release of Drugs,. DETD . . . least one controlled-release dimensioned passageway. Representative materials suitable for forming a passageway, or a multiplicity of passageways comprise a leachable poly(glycolic)acid or poly(lactic) acid polymer in the wall, a gelatinous filament, poly(vinyl alcohol), leach-able polysaccharides, salts, and oxides. A pore passageway, or more than. L53 ANSWER 7 OF 14 USPATFULL on STN ACCESSION NUMBER: 2005:124944 USPATFULL TITLE: Acyloxyalkyl carbamate prodrugs, methods of synthesis INVENTOR(S): Gallop, Mark A., Los Altos, CA, UNITED STATES Yao, Fenmei, Mountain View, CA, UNITED STATES Ludwikow, Maria J., Cupertino, CA, UNITED STATES Phan, Thu, Fremont, CA, UNITED STATES Peng, Ge, Mountain View, CA, UNITED STATES PATENT ASSIGNEE(S): XenoPort, Inc. (U.S. corporation) NUMBER KIND DATE -----US 2005107334 A1 20050519 US 2004-932374 A1 20040820 (10) PATENT INFORMATION: APPLICATION INFO.: NUMBER DATE -----US 2003-496938P 20030820 (60) PRIORITY INFORMATION: DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION LEGAL REPRESENTATIVE: DORSEY & WHITNEY LLP, INTELLECTUAL PROPERTY DEPARTMENT, 4 EMBARCADERO CENTER, SUITE 3400, SAN FRANCISCO, CA, 94111, US NUMBER OF CLAIMS: 52 EXEMPLARY CLAIM: LINE COUNT: 4458 CAS INDEXING IS AVAILABLE FOR THIS PATENT. The disclosures herein relate generally to acyloxyalkyl carbamate prodrugs of (±)-4-amino-3-(4-chlorophenyl)butanoic acid and analogs thereof, pharmaceutical compositions thereof, methods of making prodrugs of (±)-4-amino-3-(4-chlorophenyl)butanoic acid and analogs thereof, methods of using prodrugs of (±)-4-amino-3-(4-chlorophenyl) butanoic acid and analogs thereof, and pharmaceutical compositions thereof for treating or preventing common diseases and/or disorders such as spasticity and/or acid reflux disease. The disclosures herein also

relate to acyloxyalkyl carbamate prodrugs of (±)-4-amino-3-(4-

chlorophenyl)butanoic acid and analogs thereof which are suitable for oral administration and to sustained release oral dosage forms thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, hydroxynaphthoic acid, salicylic acid, stearic acid, muconic acid, and the like; or (2) salts formed when an acidic proton present in the.

DETD . . . release period. Representative biodegradable polymers comprise a member selected from the group consisting of biodegradable poly(amides), poly (amino acids), poly(esters), poly(lactic acid), poly(glycolic acid), poly(carbohydrate), poly(orthoester),

poly(orthocarbonate), poly(acetyl), poly(anhydrides), biodegradable poly(dihydropyrans), and poly(dioxinones) which are known in the art (Rosoff, Controlled Release of Drugs.

DETD . least one controlled-release dimensioned passageway. Representative materials suitable for forming a passageway, or a multiplicity of passageways comprise a leachable poly(glycolic) acid or poly(lactic) acid polymer in the wall, a gelatinous filament, poly(vinyl alcohol), leach-able polysaccharides, salts, and oxides. A pore passageway, or more than.

L53 ANSWER 8 OF 14 USPATFULL on STN

ACCESSION NUMBER: 2005:112280 USPATFULL

TITLE: Pharmaceutical compositions with synchronized

solubilizer release

Fikstad, David, Salt Lake City, UT, UNITED STATES INVENTOR(S):

Venkateshwaran, Srinivasan, Salt Lake City, UT, UNITED

Giliyar, Chandrashekar, Salt Lake City, UT, UNITED

STATES

Chen, Feng-Jing, Salt Lake City, UT, UNITED STATES Patel, Mahesh V., Salt Lake City, UT, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2005096365	A1	20050505	
APPLICATION INFO.:	US 2003-700838	A1	20030303	(10)
DOCUMENT TYPE:	Utility			
FILE SEGMENT:	APPLICATION			

LEGAL REPRESENTATIVE: COOLEY GODWARD, LLP, 3000 EL CAMINO REAL, 5 PALO ALTO

SQUARE, PALO ALTO, CA, 94306, US

NUMBER OF CLAIMS: 28 EXEMPLARY CLAIM: 1 - 34

NUMBER OF DRAWINGS: 10 Drawing Page(s)

LINE COUNT: 1813

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Pharmaceutical compositions with synchronized solubilizer release as well as various methods associated therewith, are disclosed and described. More specifically, the aqueous solubility of a drug is enhanced by synchronized release of a solubilizer.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, hydroxynaphthoic acid,

salicylic acid, stearic acid, muconic acid, and the like; or (2) salts formed when an acidic proton present in the. DETD with tannic acid) or hydrolysable esters, erodible matrices (e.g., polyamides such as albumin, collagen, poly(L-glutamic-co-γethyl-Lglutamate, etc., polyesters like poly (E-caprolactone), poly(lactic acid), poly(glycolic acid) and their copolymers, poly(ortho esters) and polyanhydrides), ion exchange resins (such as divinylbenzene-polystyrenesulfonate copolymer), waxes (such as microcrystalline wax), insoluble. L53 ANSWER 9 OF 14 USPATFULL on STN ACCESSION NUMBER: 2005:112211 USPATFULL TITLE: Pharmaceutical compositions with synchronized solubilizer release INVENTOR(S): Fikstad, David, Salt Lake City, UT, UNITED STATES Venkateshwaran, Srinivasan, Salt Lake City, UT, UNITED Giliyar, Chandrashekar, Salt Lake City, UT, UNITED Chen, Feng-Jing, Salt Lake City, UT, UNITED STATES Patel, Mahesh V., Salt Lake City, UT, UNITED STATES NUMBER KIND DATE US 2005096296 A1 20050505 US 2004-764016 A1 20040123 PATENT INFORMATION: APPLICATION INFO.: (10) Continuation of Ser. No. US 2003-700838, filed on 3 Nov RELATED APPLN. INFO.: 2003, PENDING DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION COOLEY GODWARD, LLP, 3000 EL CAMINO REAL, 5 PALO ALTO LEGAL REPRESENTATIVE: SQUARE, PALO ALTO, CA, 94306, US NUMBER OF CLAIMS: 33 EXEMPLARY CLAIM: 1 NUMBER OF DRAWINGS: 10 Drawing Page(s) LINE COUNT: 1815 CAS INDEXING IS AVAILABLE FOR THIS PATENT. Pharmaceutical compositions with synchronized solubilizer release as well as various methods associated therewith, are disclosed and described. More specifically, the aqueous solubility of a drug is enhanced by synchronized release of a solubilizer. CAS INDEXING IS AVAILABLE FOR THIS PATENT. DETD . . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, hydroxynaphthoic acid, salicylic acid, stearic acid, muconic acid, and the like; or (2) salts formed when an acidic proton present in the. DETD . . (e.g., with tannic acid) or hydrolysable esters, erodible matrices (e.g., polyamides such as albumin, collagen, poly(L-glutamic-co- γ -ethyl-Lglutamate, etc., polyesters like poly(ε-caprolactone), poly(lactic acid), poly(glycolic acid) and their copolymers, poly(ortho esters) and polyanhydrides), ion exchange resins (such as divinylbenzene-polystyrenesulfonate copolymer), waxes (such as microcrystalline wax), insoluble.

L53 ANSWER 10 OF 14 USPATFULL on STN

ACCESSION NUMBER: 2005:105612 USPATFULL

TITLE: Treating and/or preventing urinary incontinence using

prodrugs of GABA analogs

INVENTOR(S): Barrett, Ronald W., Saratoga, CA, UNITED STATES

NUMBER KIND DATE -----US 2005090550 A1 20050428 US 2004-940884 A1 20040913 (10) PATENT INFORMATION:

APPLICATION INFO.:

NUMBER DATE -----

US 2003-502585P 20030911 (60) US 2003-505210P 20030922 (60) PRIORITY INFORMATION:

US 2003-512288P 20031017 (60) US 2004-538748P 20040122 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

Sunil K. Singh, Dorsey & Whitney LLP, Intellectual LEGAL REPRESENTATIVE:

Property Department, Four Embarcadero Center, Suite

3400, San Francisco, CA, 94111-4187, US

NUMBER OF CLAIMS: EXEMPLARY CLAIM: LINE COUNT: 2744

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Disclosed herein are methods of using prodrugs of GABA analogs and pharmaceutical compositions thereof to treat and/or prevent urinary incontinence in humans, and pharmaceutical compositions of prodrugs of GABA analogs useful in treating and/or preventing urinary incontinence.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, hydroxynaphthoic acid, salicylic acid, stearic acid, muconic acid, and the like; or (2) salts formed when an acidic proton present in the.

DETD . . . sustained release period. Representative biodegradable polymers comprise a member selected from the group consisting of biodegradable poly(amides), poly(amino acids), poly(esters), poly(lactic acid), poly(

glycolic acid), poly(carbohydrate), poly(orthoester),

poly (orthocarbonate), poly(acetyl), poly(anhydrides), biodegradable poly(dihydropyrans), and poly(dioxinones) which are known in the art (Rosoff, Controlled Release of.

DETD least one controlled-release dimensioned passageway. Representative materials suitable for forming a passageway, or a multiplicity of passageways comprise a leachable poly(glycolic) acid or poly(lactic)

acid polymer in the wall, a gelatinous filament, poly(vinyl alcohol), leach-able polysaccharides, salts, and oxides. A pore passageway, or more than.

L53 ANSWER 11 OF 14 USPATFULL on STN

2004:321591 USPATFULL ACCESSION NUMBER:

TITLE: Treating or preventing hot flashes using prodrugs of

GABA analogs

INVENTOR (S): Barrett, Ronald W., Saratoga, CA, UNITED STATES

Gallop, Mark A., Los Altos, CA, UNITED STATES

NUMBER KIND DATE

A1 PATENT INFORMATION: US 2004254246 20041216

US 2004-816551 APPLICATION INFO.: A1 20040331 (10)

> NUMBER DATE -----

US 2003-459472P 20030331 (60) US 2003-512280P 20031017 (60) PRIORITY INFORMATION:

US 2004-538724P 20040122 (60)

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: DORSEY & WHITNEY LLP, INTELLECTUAL PROPERTY DEPARTMENT,

4 EMBARCADERO CENTER, SUITE 3400, SAN FRANCISCO, CA,

94111

NUMBER OF CLAIMS: 22 EXEMPLARY CLAIM: 1 LINE COUNT: 2597

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Disclosed herein are methods of using prodrugs of GABA analogs and pharmaceutical compositions thereof to treat or prevent hot flashes in humans and pharmaceutical compositions of prodrugs of GABA analogs

useful in treating or preventing hot flashes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, hydroxynaphthoic acid, salicylic acid, stearic acid, muconic acid, and the like; or (2) salts

formed when an acidic proton present in the.

DETD . . . release period. Representative biodegradable polymers comprise a member selected from the group consisting of biodegradable

poly(amides), poly (amino acids), poly(esters), poly(

lactic acid), poly(glycolic

acid), poly(carbohydrate), poly(orthoester), poly

(orthocarbonate), poly(acetyl), poly(anhydrides), biodegradable poly(dihydropyrans), and poly(dioxinones) which are known into the art

in (Rosoff, Controlled Release. .

DETD . . . least one controlled-release dimensioned passageway. Representative materials suitable for forming a passageway, or a multiplicity of passageways comprise a leachable poly(

glycolic) acid or poly(lactic)

acid polymer in the wall, a gelatinous filament, poly(vinyl alcohol), leach-able polysaccharides, salts, and oxides. A pore passageway, or more than.

L53 ANSWER 12 OF 14 USPATFULL on STN

ACCESSION NUMBER: 2004:255290 USPATFULL

TITLE:

Orally administered dosage forms of gaba analog

prodrugs having reduced toxicity

Cundy, Kenneth C., Redwood City, CA, UNITED STATES INVENTOR(S):

Gallop, Mark A., Los Altos, CA, UNITED STATES

(10)

KIND DATE NUMBER ______

PATENT INFORMATION: US 2004198820 A1 20041007 US 2004-829896 A1 20040421 APPLICATION INFO.:

RELATED APPLN. INFO.: Continuation of Ser. No. US 2002-170127, filed on 11

Jun 2002, PENDING

NUMBER

PRIORITY INFORMATION: US 2001-297521P 20010611 (60)

US 2001-298514P 20010614 (60) US 2002-366090P 20020319 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: COOLEY GODWARD, LLP, 3000 EL CAMINO REAL, 5 PALO ALTO

SQUARE, PALO ALTO, CA, 94306

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 2469 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides an extended release oral dosage form of prodrugs of gabapentin and other GABA analogs, which dosage forms exhibit reduced toxicity. The dosage forms are particularly useful in administering those prodrugs of gabapentin and other GABA analogs that are metabolized to form an aldehyde. The dosage forms of the invention are useful for treating or preventing diseases and/or disorders for which the parent gabapentin or other GABA analog are known to be therapeutically effective.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, hydroxynaphthoic acid, salicylic acid, stearic acid, muconic acid, and the like; or (2) salts formed when an acidic proton present in the.

DETD . . release period. Representative biodegradable polymer comprise a member selected from the group consisting of biodegradable poly(amides), poly (amino acids), poly(esters), poly(lactic acid), poly(glycolic acid), poly(carbohydrate), poly(orthoester), poly (orthocarbonate),

poly(acetyl), poly(anhydrides), biodegradable poly(dehydropyrans), and poly(dioxinones) which are known in the art (Rosoff, Controlled Release ofDrugs,.

DETD . least one controlled-release dimensioned passageway. Representative materials suitable for forming a passageway, or a multiplicity of passageways comprise a leachable poly(glycolic) acid or poly(lactic) acid polymer in the wall, a gelatinous filament, poly(vinyl alcohol), leach-able polysaccharides, salts, and oxides. A pore passageway, or more than.

L53 ANSWER 13 OF 14 USPATFULL on STN

ACCESSION NUMBER: 2004:216107 USPATFULL

TITLE: Carbidopa prodrugs and derivatives, and compositions

and uses thereof

INVENTOR(S): Xiang, Jia-Ning, Palo Alto, CA, UNITED STATES

Gallop, Mark A., Los Altos, CA, UNITED STATES Cundy, Kenneth C., Redwood City, CA, UNITED STATES

Li, Jianhua, Sunnyvale, CA, UNITED STATES Xu, Feng, Palo Alto, CA, UNITED STATES

Zhou, Cindy X., Palo Alto, CA, UNITED STATES

Bhat, Laxminarayan, Santa Clara, CA, UNITED STATES

NUMBER KIND DATE ______ PATENT INFORMATION: US 2004167216 A1 20040826 US 2003-728942 APPLICATION INFO.: A1 20031208 (10)

> NUMBER DATE

PRIORITY INFORMATION: US 2002-431304P 20021206 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Finnegan, Henderson, Farabow,, Garrett & Dunner,

L.L.P., 1300 I Street, N.W., Washington, DC, 20005-3315

NUMBER OF CLAIMS: EXEMPLARY CLAIM: LINE COUNT: 4443

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Prodrugs of carbidopa, derivatives of carbidopa prodrugs, methods of making prodrugs of carbidopa and derivatives thereof, methods of using prodrugs of carbidopa and derivatives thereof, and compositions of prodrugs of carbidopa and derivatives thereof are disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid,

gluconic acid, glutamic acid, hydroxynaphthoic acid,

salicylic acid, stearic acid, muconic acid, and the like; or (2) salts formed when an acidic proton present in the.

SUMM . . or derivative over a sustained release period. Representative biodegradable polymers comprise a polymer selected from biodegradable poly(amides), poly(amino acids), poly(esters), poly(

lactic acid), poly(glycolic

acid), poly(carbohydrate), poly(orthoester),

poly(orthocarbonate), poly(acetyl), poly(anhydrides), biodegradable poly(dehydropyrans), and poly(dioxinones) which are known in the art (Rosoff, Controlled Release of Drugs,.

SUMM

. . . least one controlled-release dimensioned passageway. Representative materials suitable for forming a passageway, or a multiplicity of passageways comprise a leachable poly(glycolic) acid or poly(lactic) acid polymer in the wall, a gelatinous filament, poly(vinyl alcohol), leach-able polysaccharides, salts, and oxides. A pore

L53 ANSWER 14 OF 14 USPATFULL on STN

passageway, or more than.

ACCESSION NUMBER: 2004:209917 USPATFULL

TITLE: Orally administered dosage forms of fused GABA analog

prodrugs having reduced toxicity

INVENTOR(S): Gallop, Mark A., Los Altos, CA, UNITED STATES

NUMBER KIND DATE ------US 2004162351 A1 20040819 US 2003-734631 A1 20031211 (10) PATENT INFORMATION: APPLICATION INFO.:

NUMBER DATE PRIORITY INFORMATION: US 2002-432931P 20021211 (60)

US 2002-433243P 20021212 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: COOLEY GODWARD, LLP, 3000 EL CAMINO REAL, 5 PALO ALTO

SQUARE, PALO ALTO, CA, 94306

NUMBER OF CLAIMS: 53 EXEMPLARY CLAIM: LINE COUNT: 2084

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides an extended release oral dosage form of prodrugs of fused GABA analogs of reduced toxicity. The dosage forms are particularly useful in administering those fused GABA analogs that are metabolized to form an aldehyde. The dosage forms of the invention are useful for treating or preventing diseases and/or disorders for which fused GABA analog are known to be therapeutically effective.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1-carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, hydroxynaphthoic acid, salicylic acid, stearic acid, muconic acid, and the like; or (2) salts formed when an acidic proton present in the. . .

DETD . . . release period. Representative biodegradable polymers comprise a member selected from the group consisting of biodegradable poly(amides), poly (amino acids), poly(esters), poly(lactic acid), poly(glycolic acid), poly(carbohydrate), poly(orthoester), poly(orthocarbonate), poly(acetyl), poly(anhydrides), biodegradable poly(dihydropyrans), and poly(dioxinones) which are known in the art (Rosoff, Controlled Release of Drugs, . . .

DETD . . . least one controlled-release dimensioned passageway.

Representative materials suitable for forming a passageway, or a multiplicity of passageways comprise a leachable poly(
glycolic) acid or poly(lactic)

acid polymer in the wall, a gelatinous filament, poly(vinyl alcohol), leach-able polysaccharides, salts, and oxides. A pore passageway, or more than. . .

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	1	"6756472"	DERWENT	AND	ON	2006/02/27 19:25
L2	1	2000-451999.NRAN.	DERWENT	AND	ON	2006/02/27 19:25
S1	2	"6977113".pn.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 14:04
S2	2	"20050025826".pn.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 14:04
S3	2	"6740634".pn.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 14:05
S4	3	S2 or S3	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 14:35
S5	1	1999-444329.NRAN.	DERWENT	AND	ON	2006/02/27 16:16
S6	2	"6756472".pn.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 14:36
S7	1	2000-451999.NRAN.	DERWENT	AND	ON	2006/02/27 14:37
S8	2400	polylactic or (poly adj lactic)	DERWENT	AND	ON	2006/02/27 15:00
S9	6959	S8 or pla or ((lactic adj acid) same (copolymer or polymer))	DERWENT	AND	ON	2006/02/27 15:01
S10	2033	polyglycolic or (poly adj glycolic) or pga	DERWENT	AND	ON	2006/02/27 15:00
S12	369	S10 same (copolymer or polymer)	DERWENT	AND	ON	2006/02/27 14:58
S13	250	S12 and S9	DERWENT	AND	ON	2006/02/27 14:59
S14	171	hydroxynaphthoic	DERWENT	AND	ON	2006/02/27 15:01
S15	304	hydroxy adj naphthoic	DERWENT	AND	ON	2006/02/27 14:59
S16	432	S14 or S15	DERWENT	AND -	ON	2006/02/27 14:59
S17	0	S16 and S13	DERWENT	AND	ON	2006/02/27 14:59
S18	689	hydroxy adj3 naphthoic	DERWENT	AND	ON	2006/02/27 15:01
S19	0	S18 and S13	DERWENT	AND	ON	2006/02/27 15:00

EAST Search History

S20	0	S16.clm.	DERWENT	AND	ON	2006/02/27 15:00
S21	24744	polylactic or (poly adj lactic)	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:00
S22	27631	polyglycolic or (poly adj glycolic) or pga	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:00
S23	191643	S21 or pla or ((lactic adj acid) same (copolymer or polymer))	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:01
S24	5194	hydroxy adj3 naphthoic	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:03
S25	2547	hydroxynaphthoic	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:02
S26	205526	S23 or S22	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:02
S27	13748	S23 and S22	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:02
S28	115	S27 and S25	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:02
S29	13192	S23 same S22	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:02

EAST Search History

S30	0	S29 same S24	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:02
S31	0	S29 same S25	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:03
S32	4107	S23.clm.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:03
S33	2474	S22.clm.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:03
S34	80	S25.clm.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:03
S35	333	S24.clm.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:03
S36	1550	S32 and S33	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:04
S37	0	S36 and S34	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:04
S38	0	S35 and S36	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:04
S39	1	wo-200035990-\$.did.	DERWENT	AND	ON	2006/02/27 19:24
S40	1	1999-444329.NRAN.	DERWENT	AND	ON	2006/02/27 18:20